

PATENT COOPERATION TREATY

PCT

From the INTERNATIONAL BUREAU

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

To:

TITUS, Robert, D.
Eli Lilly and Company
Lilly Corporate Center
Indianapolis, IN 46285
ETATS-UNIS D'AMERIQUE

| | |
|--|---|
| Date of mailing (day/month/year) 17 January 2002 (17.01.02) | IMPORTANT NOTIFICATION |
| Applicant's or agent's file reference X11593 | |
| International application No. PCT/US00/19544 | International filing date (day/month/year) 21 July 2000 (21.07.00) |

1. The following indications appeared on record concerning:

☒ the applicant ☒ the inventor ☐ the agent ☐ the common representative

| | | |
|--|----------------------------|--------------------------|
| Name and Address CUNNINGHAM, Brian, Eugene 5433 Fox Way, Apartment 3 Indianapolis, IN 46237 United States of America | State of Nationality US | State of Residence US |
| | Telephone No. | |
| | Facsimile No. | |
| | Teleprinter No. | |

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

☐ the person ☐ the name ☒ the address ☐ the nationality ☐ the residence

| | | |
|--|----------------------------|--------------------------|
| Name and Address CUNNINGHAM, Brian, Eugene 6990 Red Day Road Martinsville, IN 46151 United States of America | State of Nationality US | State of Residence US |
| | Telephone No. | |
| | Facsimile No. | |
| | Teleprinter No. | |

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

| | |
|--|---|
| <input checked="" type="checkbox"/> the receiving Office | <input type="checkbox"/> the designated Offices concerned |
| <input type="checkbox"/> the International Searching Authority | <input checked="" type="checkbox"/> the elected Offices concerned |
| <input type="checkbox"/> the International Preliminary Examining Authority | <input type="checkbox"/> other: |

| | |
|---|---|
| The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland | Authorized officer Elisabeth KÖNIG |
| Facsimile No.: (41-22) 740.14.35 | Telephone No.: (41-22) 338.83.38 |

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

From the INTERNATIONAL BUREAU

To:

TITUS, Robert, D.
Eli Lilly and Company
Lilly Corporate Center
Indianapolis, IN 46285
ETATS-UNIS D'AMERIQUE

| | |
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| Date of mailing (day/month/year) 17 January 2002 (17.01.02) | IMPORTANT NOTIFICATION |
| Applicant's or agent's file reference X11593 | |
| International application No. PCT/US00/19544 | International filing date (day/month/year) 21 July 2000 (21.07.00) |

| | | |
|---|---|---|
| 1. The following indications appeared on record concerning: | | |
| <input checked="" type="checkbox"/> the applicant | <input checked="" type="checkbox"/> the inventor | <input type="checkbox"/> the agent <input type="checkbox"/> the common representative |
| Name and Address WINNEROSKI, Leonard, Larry, Jr. 557 Covered Bridge Road Greenwood, IN 46142 United States of America | State of Nationality US | State of Residence US |
| | Telephone No. | |
| | Facsimile No. | |
| | Teleprinter No. | |
| 2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning: | | |
| <input type="checkbox"/> the person | <input type="checkbox"/> the name | <input checked="" type="checkbox"/> the address <input type="checkbox"/> the nationality <input type="checkbox"/> the residence |
| Name and Address WINNEROSKI, Leonard, Larry, Jr. 587 Thoroughbred Lane Greenwood, IN 46142 United States of America | State of Nationality US | State of Residence US |
| | Telephone No. | |
| | Facsimile No. | |
| | Teleprinter No. | |
| 3. Further observations, if necessary: | | |
| 4. A copy of this notification has been sent to: | | |
| <input checked="" type="checkbox"/> the receiving Office | <input type="checkbox"/> the designated Offices concerned | |
| <input type="checkbox"/> the International Searching Authority | <input checked="" type="checkbox"/> the elected Offices concerned | |
| <input type="checkbox"/> the International Preliminary Examining Authority | <input type="checkbox"/> other: | |

| | |
|---|---|
| The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland | Authorized officer Elisabeth KÖNIG |
| Facsimile No.: (41-22) 740.14.35 | Telephone No.: (41-22) 338.83.38 |

PATENT COOPERATION TREATY

PCT

NOTIFICATION OF ELECTION

(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
 US Department of Commerce
 United States Patent and Trademark
 Office, PCT
 2011 South Clark Place Room
 CP2/5C24
 Arlington, VA 22202
 ETATS-UNIS D'AMERIQUE
 in its capacity as elected Office

| | |
|--|--|
| Date of mailing (day/month/year) 08 March 2001 (08.03.01) | |
| International application No. PCT/US00/19544 | Applicant's or agent's file reference X11593 |
| International filing date (day/month/year) 21 July 2000 (21.07.00) | Priority date (day/month/year) 29 July 1999 (29.07.99) |
| Applicant BRINER, Karin et al | |

1. The designated Office is hereby notified of its election made:

☒ in the demand filed with the International Preliminary Examining Authority on:

02 February 2001 (02.02.01)

☐ in a notice effecting later election filed with the International Bureau on:
2. The election ☒ was
☐ was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

| | |
|---|---|
| The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35 | Authorized officer Olivia TEFY Telephone No.: (41-22) 338.83.38 |
|---|---|

REC'D 05 SEP 2001

WIPO

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14

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

| | | |
|---|---|---|
| Applicant's or agent's file reference X11593 | FOR FURTHER ACTION See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416) | |
| International application No. PCT/US00/19544 | International filing date (day/month/year) 21/07/2000 | Priority date (day/month/year) 29/07/1999 |
| International Patent Classification (IPC) or national classification and IPC C07D405/04 | | |
| Applicant ELI LILLY AND COMPANY et al. | | |

1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.


2. This REPORT consists of a total of 8 sheets, including this cover sheet.

- ☐ This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).

These annexes consist of a total of sheets.

3. This report contains indications relating to the following items:

- I ☒ Basis of the report
- II ☒ Priority
- III ☒ Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
- IV ☐ Lack of unity of invention
- V ☒ Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- VI ☒ Certain documents cited
- VII ☒ Certain defects in the international application
- VIII ☐ Certain observations on the international application

| | |
|---|--|
| Date of submission of the demand 02/02/2001 | Date of completion of this report 03.09.2001 |
| Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465 | Authorized officer Wörth, C Telephone No. +49 89 2399 8726 |



**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/US00/19544

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-142 as originally filed

Claims, No.:

1-6 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- ☐ the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
☐ the language of publication of the international application (under Rule 48.3(b)).
☐ the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- ☐ contained in the international application in written form.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority in written form.
☐ furnished subsequently to this Authority in computer readable form.
☐ The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
☐ The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- ☐ the description, pages:
☐ the claims, Nos.:
☐ the drawings, sheets:

5. ☐ This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/19544

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

II. Priority

1. ☐ This report has been established as if no priority had been claimed due to the failure to furnish within the prescribed time limit the requested:
- ☐ copy of the earlier application whose priority has been claimed.
 - ☐ translation of the earlier application whose priority has been claimed.
2. ☐ This report has been established as if no priority had been claimed due to the fact that the priority claim has been found invalid.

Thus for the purposes of this report, the international filing date indicated above is considered to be the relevant date.

3. Additional observations, if necessary:
see separate sheet

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- ☐ the entire international application.
 - ☒ claims Nos. 3-6 with respect to IA.

because:

- ☒ the said international application, or the said claims Nos. 3-6 with respect to IA relate to the following subject matter which does not require an international preliminary examination (*specify*):
see separate sheet
 - ☐ the description, claims or drawings (*indicate particular elements below*) or said claims Nos. are so unclear that no meaningful opinion could be formed (*specify*):
 - ☐ the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
 - ☐ no international search report has been established for the said claims Nos. .
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/US00/19544

and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:

- ☐ the written form has not been furnished or does not comply with the standard.
- ☐ the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

| | | | |
|-------------------------------|------|--------|-----|
| Novelty (N) | Yes: | Claims | 1-6 |
| | No: | Claims | |
| Inventive step (IS) | Yes: | Claims | 1-6 |
| | No: | Claims | |
| Industrial applicability (IA) | Yes: | Claims | 1-2 |
| | No: | Claims | |

2. Citations and explanations see separate sheet

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

1. R f r n c i s m a d t o t h f o l l o w i n g d o c u m e n t s:

- D1: EP-A-0 006 524 (CIBA-GEIGY AG) 9 January 1980 (1980-01-09)
- D2: EP-A-0 189 612 (DUPHAR INTERNATIONAL RESEARCH B.V.) 6 August 1986 (1986-08-06)
- D3: EP-A-0 398 413 (DUPHAR INTERNATIONAL RESEARCH B.V.) 22 November 1990 (1990-11-22)
- D4: EP-A-0 982 304 (ELI LILLY AND CO.) 1 March 2000 (2000-03-01)
- D5: WO 00 00203 A (ELI LILLY AND CO.) 6 January 2000 (2000-01-06)
- D6: WO 00 00196 A (ELI LILLY AND CO.) 6 January 2000 (2000-01-06)
- D7: PATENT ABSTRACTS OF JAPAN vol. 016, no. 071 (C-0913), 21 February 1992 (1992-02-21) & JP 03 264583 A (DAI ICHI SEIYAKU CO LTD), 25 November 1991 (1991-11-25)
- D8: MCGARRY D.G. ET AL.: 'Benzofuran based PDE4 inhibitors' BIOORGANIC & MEDICINAL CHEMISTRY., vol. 7, no. 6, 1999, pages 1131-1139, XP000978427 ELSEVIER SCIENCE LTD., GB ISSN: 0968-0896

2. Priority (Reference to section II)

In view of the fact that documents D4-D6 are considered as intermediate documents, the priority of the present application has been checked and found valid for the whole subject-matter claimed. Therefore, the content of D4-D6 will not be taken into consideration.

3. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability (Reference to section III)

Claims 3-6 relate to subject-matter considered by this Authority to be covered by the provisions of Rule 67.1(iv) PCT. Consequently, no opinion will be formulated with respect to the industrial applicability of the subject-matter of these claims (Article 34(4)(a)(i) PCT).

4. Reasoned statement under Art. 35(2) PCT with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement (Reference to section V)

The present application discloses **benzofuranes substituted by tetrahydropyridine or pyridine** exhibiting a selective affinity for the 5-HT_{2C}-receptor characterized by the structural feature that

- the tetrahydropyridine- or pyridine-part is at position 4 or 7 of the benzofurane-core
- the tetrahydropyridine- or pyridine-part is at least once substituted by R⁵-R⁷.

4.1 Novelty

The subject-matter of the present application differs from document D1 in view of the substitution of the tetrahydropyridine- or pyridine-part by at least one R⁵-R⁷ (see proviso "a)" in claim 1, lines 17-18).

With respect to the documents D2, D3, D7 and D8, the following features distinguish them from the present disclosure:

- D2: piperazine-substituent instead of piperidine- or tetrahydropiperidine-substituent
- D3: 3-substituted tetrahydropiperidine instead of 4-substituted piperidine- or tetrahydropiperidine
- D7: piperidine linked to the furane-part instead to the benzo-part of benzofurane
- D8: no piperidines or tetrahydropiperidines described

Accordingly, the present application meets the requirements set forth in Art. 33(2) PCT.

4.2 Inventive step

Document D1 is considered as closest prior art. This document discloses tetrahydropyridine- or piperidine-benzofuranes (see general formula (I) on page 1) exhibiting a **serotonin-specific activity** (see page 3, last paragraph), in particular useful as for use in medicaments for the treatment of depression (see D1, page 4, first paragraph).

In view of this document and as pointed out by the applicant in his letter of reply

received via facsimile 23.07.2001, the **problem to be solved** can be regarded as the provision of benzofurane-derivatives with **high affinity for the 5-HT_{2C} receptor with good selectivity over other serotonergic receptors**, particularly the 5-HT_{2A} receptor.

The **solution** to the problem according claim 1 consists in

- the lack the substitution at the nitrogen of the tetrahydropyridine- or piperidine-moiety and
- specific substitution of one or two hydrogens of the tetrahydropyridine- or piperidine-moiety by alkyl groups.

In view of the pharmacological data submitted by the Applicant via facsimile received 23.07.2001, showing relative selectivity of representative compounds of the present application for the 5-HT_{2C} receptor, the problem posed is considered as solved.

In view of the fact that none of the cited references mentions or suggests activity at the 5-HT_{2C} receptor nor anticipates the above mentioned particular substitutions, the selectivity of the claimed compounds for the 5-HT_{2C} receptor subclass is considered as unexpected and therefore involves an inventive step in the sense of Art. 33(3) PCT.

Accordingly, the present application meets the requirements of Art. 33(3) PCT.

4.3 Industrial applicability

For the assessment of the present claims 3-6 on the question whether they are industrially applicable, no unified criteria exist in the PCT Contracting States. The patentability can also be dependent upon the formulation of the claims. The EPO, for example, does not recognize as industrially applicable the subject-matter of claims to the use of a compound in medical treatment, but may allow, however, claims to a known compound for first use in medical treatment and the use of such a compound for the manufacture of a medicament for a new medical treatment.

**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/US00/19544

5. Certain documents cited (Reference to section VI)

The following documents may become relevant when entering the regional/national phase (Rule 70.10).

| Application No Patent No | Publication date (day/month/year) | Filing date (day/month/year) | Priority date (valid claim) (day/month/year) |
|-----------------------------|--------------------------------------|---------------------------------|---|
| EP 0 982 304 ^{*)} | 1 March 2000 | 29 June 1999 | 30 June 1998 |
| WO 00/00203 | 6 January 2000 | 29 June 1999 | 30 June 1998 |
| WO 00/00196 | 6 January 2000 | 29 June 1999 | 30 June 1998 |

^{*)} Although EP 0 982 304 does not contribute prior art within the meaning of Rule 64.1(b) PCT, it appears to disclose on page 3, line 29-54 and claim 24 subject-matter which overlaps with present claim 1. No check has been made as to whether the priority of this prior application has been validly claimed.

6. Certain defects in the international application (Reference to section VII)

- 6.1 Contrary to the requirements of Rule 5.1(a)(ii) PCT, documents D1-D8 are not identified nor is the relevant background art disclosed therein mentioned.
- 6.2 Contrary to the requirements of art. 6 PCT and Guidelines Chapter III-5.1 PCT, undue repetition of wording between claim 1 and claims 2-5 is not avoided by the use of the dependent form.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/19544

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D405/04 A61K31/4525 A61P3/04 A61P25/24

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| Y | EP 0 006 524 A (CIBA-GEIGY AG) 9 January 1980 (1980-01-09) the whole document | 1-6 |
| Y | EP 0 189 612 A (DUPHAR INTERNATIONAL RESEARCH B.V.) 6 August 1986 (1986-08-06) the whole document | 1-6 |
| Y | PATENT ABSTRACTS OF JAPAN vol. 016, no. 071 (C-0913), 21 February 1992 (1992-02-21) & JP 03 264583 A (DAI ICHI SEIYAKU CO LTD), 25 November 1991 (1991-11-25) abstract | 1-6 |

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance: the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance: the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *Z* document member of the same patent family

Date of the actual completion of the international search

16 January 2001

Date of mailing of the international search report

26/01/2001

Name and mailing address of the ISA

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Authorized officer

Beslier, L

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 00/19544

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| Y | EP 0 398 413 A (DUPHAR INTERNATIONAL RESEARCH B.V.) 22 November 1990 (1990-11-22) the whole document ---- | 1-6 |
| Y | MCGARRY D.G. ET AL.: "Benzofuran based PDE4 inhibitors" BIOORGANIC & MEDICINAL CHEMISTRY., vol. 7, no. 6, 1999, pages 1131-1139, XP000978427 ELSEVIER SCIENCE LTD., GB ISSN: 0968-0896 the whole document ---- | 1-6 |
| P,Y | EP 0 982 304 A (ELI LILLY AND CO.) 1 March 2000 (2000-03-01) the whole document ---- | 1-6 |
| P,Y | WO 00 00203 A (ELI LILLY AND CO.) 6 January 2000 (2000-01-06) the whole document ---- | 1-6 |
| P,Y | WO 00 00196 A (ELI LILLY AND CO.) 6 January 2000 (2000-01-06) the whole document ----- | 1-6 |

INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US 00/19544

| Patent document cited in search report | Publication date | Patent family member(s) | Publication date |
|---|---------------------|----------------------------|---------------------|
| EP 6524 A | 09-01-1980 | AU 523656 B | 05-08-1982 |
| | | AU 4825779 A | 03-01-1980 |
| | | CA 1119601 A | 09-03-1982 |
| | | DD 144545 A | 22-10-1980 |
| | | DK 259879 A | 23-12-1979 |
| | | ES 481714 A | 16-06-1980 |
| | | ES 489627 D | 01-04-1981 |
| | | ES 8104280 A | 01-07-1981 |
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| | | ES 8104281 A | 01-07-1981 |
| | | JP 55002697 A | 10-01-1980 |
| | | NZ 190784 A | 16-03-1982 |
| | | US 4259338 A | 31-03-1981 |
| | | ZA 7903091 A | 25-06-1980 |
| EP 189612 A | 06-08-1986 | AT 81975 T | 15-11-1992 |
| | | AU 588015 B | 07-09-1989 |
| | | AU 5139185 A | 26-06-1986 |
| | | CA 1271475 A | 10-07-1990 |
| | | DE 3586794 A | 10-12-1992 |
| | | DE 3586794 T | 27-05-1993 |
| | | DK 586085 A | 22-06-1986 |
| | | ES 550104 D | 16-12-1986 |
| | | ES 8702143 A | 16-03-1987 |
| | | GR 853064 A | 09-04-1986 |
| | | IE 61723 B | 30-11-1994 |
| | | IL 77395 A | 16-08-1991 |
| | | JP 61152655 A | 11-07-1986 |
| | | NZ 214610 A | 29-09-1988 |
| | | PH 24503 A | 18-07-1990 |
| | | US 5424313 A | 13-06-1995 |
| JP 03264583 A | 25-11-1991 | JP 2883995 B | 19-04-1999 |
| EP 398413 A | 22-11-1990 | AU 625664 B | 16-07-1992 |
| | | AU 5494490 A | 22-11-1990 |
| | | CA 2016625 A | 16-11-1990 |
| | | JP 3017058 A | 25-01-1991 |
| | | NZ 233645 A | 25-06-1992 |
| | | US 5296497 A | 22-03-1994 |
| | | ZA 9003626 A | 27-02-1991 |
| EP 982304 A | 01-03-2000 | AU 4726699 A | 17-01-2000 |
| | | WO 0000198 A | 06-01-2000 |
| WO 0000203 A | 06-01-2000 | AU 4727799 A | 17-01-2000 |
| WO 0000196 A | 06-01-2000 | AU 4850199 A | 17-01-2000 |

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization
International Bureau



(43) International Publication Date
8 February 2001 (08.02.2001)

PCT

(10) International Publication Number
WO 01/09122 A2

(51) International Patent Classification⁷: C07D 405/04,
A61K 31/4525, A61P 3/04, 25/24

(21) International Application Number: PCT/US00/19544

(22) International Filing Date: 21 July 2000 (21.07.2000)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/146,181 29 July 1999 (29.07.1999) US
60/172,601 20 December 1999 (20.12.1999) US

(71) Applicant (for all designated States except US): ELI
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(72) Inventors; and

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Mooresville, IN 46158 (US). GRITTON, William, Har-
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(US). JESUDASON, Cynthia, Darshini [LK/US]; 1090
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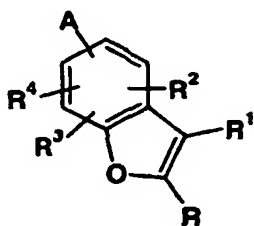
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(54) Title: SEROTONERGIC BENZOFURANS



(1)

(57) Abstract: The present invention provides serotonergic benzofurans of Formula
(I): where A, R, R¹, R², R³, and R⁴ are as described in the specification.

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